

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
8 July 2004 (08.07.2004)

PCT

(10) International Publication Number
WO 2004/056751 A1

(51) International Patent Classification⁷: **C07C 243/34**,
C07D 207/06, 241/02, 277/02, 237/22, A61K 31/16

[GB/GB]; Evotec OAI Ltd, 151 Milton Park, Abingdon,
Oxfordshire OX14 4SD (GB).

(21) International Application Number:
PCT/GB2003/005407

(74) Agent: **WALLS, Alan, James**; Vernalis Oxford Ltd,
Granta Park, Abingdon, Cambridge CB1 6GB (GB).

(22) International Filing Date:
11 December 2003 (11.12.2003)

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0229673.9 19 December 2002 (19.12.2002) GB

(84) Designated States (*regional*): ARIPO patent (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for all designated States except US*): **VER-
NALIS OXFORD LTD** [GB/GB]; Granta Park, Abingdon,
Cambridge CB1 6GB (GB).

(72) Inventors; and

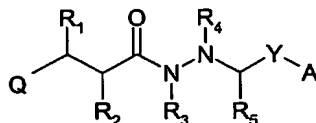
(75) Inventors/Applicants (*for US only*): **EAST, Stephen, Pe-
ter** [IE/GB]; Evotec OAI Ltd, 151 Milton Park, Abingdon,
Oxfordshire OX14 4SD (GB). **BRAGG, Ryan, Ashley**
[GB/GB]; Evotec OAI Ltd, 151 Milton Park, Abing-
don, Oxfordshire OX14 4SD (GB). **TAYLOR, Steven**

Published:

— with international search report

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: ANTIBACTERIAL AGENTS



(I)

(57) Abstract: Compounds of formula (I) have antibacterial activity, wherein Q represents -N(OH)CH(=O) or -C(=O)NH(OH); Y represents -C(=O)-, -C(S=)-, -S(=O)-, or -SO₂-; R₁ represents hydrogen, C₁-C₆ alkyl or C₁-C₆ alkyl substituted by one or more halo-
gen atoms, or, except when Q is a radical of formula -N(OH)CH(=O), a hydroxy, C₁-C₆ alkoxy, C₁-C₆ alkenyloxy, halogen, amino,
C₁-C₆ alkylamino, or di-(C₁-C₆ alkyl)amino group; R₂ represents a substituted or unsubstituted C₁-C₆ alkyl, C₁-C₃ alkyl-O-C₁-C₃
alkyl, C₁-C₃ alkyl-S-C₁-C₃ alkyl, cycloalkyl(C₁-C₃ alkyl)-, aryl(C₁-C₃ alkyl)-, heterocyclyl(C₁-C₃ alkyl)-, or R¹R²N-C₁-C₃ alkyl group
wherein R¹ represents hydrogen or C₁-C₃ alkyl and R² represents C₁-C₃ alkyl, or R¹R²N- represents a cyclic amino group; R₃ and R₅
independently represent hydrogen or a substituted or unsubstituted C₁-C₆ alkyl group or R₃ and R₅ taken together with the carbon
and nitrogen atoms to which they are respectively attached form a saturated heterocyclic ring of from 5 to 7 ring atoms, which may
be fused to a second carbocyclic or heterocyclic ring, either of which rings may optionally be substituted; R₄ represents hydrogen
or a substituted or unsubstituted C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cycloalkyl, aryl, heterocyclyl, C₁-C₃ alkyl-O-C₁-C₃ alkyl,
C₁-C₃ alkyl-S-C₁-C₃ alkyl, C₁-C₃ alkyl-NH-(C₁-C₃ alkyl)-, cycloalkyl(C₁-C₃ alkyl)-, heterocyclic(C₁-C₃ alkyl)- or aryl(C₁-C₃ alkyl)-
group; and A represents a primary, secondary or tertiary amino group or a group -R₆, -OR₆, wherein R₆ is a substituted or unsubsti-
tuted C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cycloalkyl, aryl, heterocyclyl, C₁-C₃ alkyl-O-(C₁-C₃ alkyl)-l, C₁-C₃ alkyl-S-(C₁-C₃
alkyl)-, C₁-C₃ alkyl-NH-(C₁-C₃ alkyl)-, cycloalkyl(C₁-C₃ alkyl)-, heterocyclic(C₁-C₃ alkyl)-l or aryl(C₁-C₃ alkyl)- group.